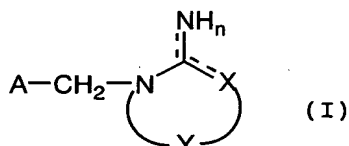


## CLAIMS

1. Activators for  $\alpha 4\beta 2$  nicotinic acetylcholine receptors containing heterocyclic compounds represented by the following  
 5 formula (I):



wherein:

A is optionally substituted aryl group; or optionally substituted heterocyclic group;

X is oxygen atom; sulfur atom; carbon atom; or nitrogen atom;

dotted line shows either presence or absence of bond;

n is integer of 1 or 2; and

Y is,

(1) in the case of X is oxygen atom, group -Y-X- is -CH<sub>2</sub>-CH<sub>2</sub>-O- or -CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-O-;

(2) in the case of X is sulfur atom, group -Y-X- is -CH(R<sup>1</sup>)-CH<sub>2</sub>-S-, -C(R<sup>2</sup>)=C(R<sup>3</sup>)-S- or -CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-S- (in which, R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> are hydrogen atom; C<sub>1</sub>-C<sub>4</sub> alkyl group; or optionally substituted phenyl group);

(3) in the case of X is carbon atom, group -Y-X- is -CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-, -CH=C(R<sup>4</sup>)-C(R<sup>5</sup>)=C(R<sup>6</sup>)-, -CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-, or -N=C(R<sup>7</sup>)-CH=CH- (in which, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup> and R<sup>7</sup> are hydrogen atom; C<sub>1</sub>-C<sub>4</sub> alkyl group; optionally substituted phenyl group; halogen atom; or nitro group); and,

(4) in the case of X is nitrogen atom, group -Y-X- is -CH<sub>2</sub>-CH<sub>2</sub>-NH-, -CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-NH-, -CH=C(R<sup>8</sup>)-N= or -CH=C(R<sup>9</sup>)-CH=N- (in which, R<sup>8</sup> and R<sup>9</sup> are hydrogen atom; or optionally substituted phenyl group);

or pharmaceutically acceptable salts thereof as active ingredient.

2. The activators for  $\alpha 4\beta 2$  nicotinic acetylcholine receptors according to claim 1, wherein said activators are agonists or modulators at  $\alpha 4\beta 2$  nicotinic acetylcholine receptors.

5 3. A therapeutic agent for preventing or treating cerebral circulation diseases comprising the activator for  $\alpha 4\beta 2$  nicotinic acetylcholine receptors claimed in claim 1 or 2.

4. A therapeutic agent for preventing or treating neurodegenerative disease, dementia, motor ataxia, and neuropathy and mental disease comprising the activator for  $\alpha 4\beta 2$  nicotinic acetylcholine receptors claimed in claim 1 or 2.

5. The therapeutic agent according to claim 4, wherein said neurodegenerative disease is Alzheimer's disease or Parkinson's disease, said dementia is cerebrovascular dementia, said motor ataxia is Tourette's syndrome, and said neuropathy and mental disease is neurosis during chronic cerebral infarction stage, anxiety or schizophrenia.

6. A medicament for improving the cerebral metabolism, neurotransmission functional disorder and memory disorder, for protecting brain, or having analgesic effect, which comprises the activator for  $\alpha 4\beta 2$  nicotinic acetylcholine receptors claimed in claim 1 or 2.

7. A medicament for preventing or treating inflammatory intestinal diseases comprising the activator for  $\alpha 4\beta 2$  nicotinic acetylcholine receptors claimed in claim 1 or 2.

8. ~~The use of the compounds claimed in claim 1 or pharmaceutically acceptable salts thereof as the activators for~~

Amern.  
a<sup>1</sup>

10  
15  
20  
25  
30

contd.  
 01

~~α<sub>1</sub>2~~ nicotinic acetylcholine receptors.

9. The following compounds represented by the formula (I) of claim 1 or pharmaceutically acceptable salts thereof;

5 1-(6-chloro-3-pyridyl)methyl-2-iminoimidazolidine;

1-(6-chloro-3-pyridyl)methyl-2-iminopyrrolidine;

1-(6-chloro-3-pyridyl)methyl-2-iminopiperidine;

3-(6-chloro-3-pyridyl)methyl-2-imino-3,4,5,6-tetrahydro-2H-1,3-oxazine;

10 3-(6-chloro-3-pyridyl)methyl-2-imino-3,4,5,6-tetrahydro-2H-1,3-thiazine;

3-(6-fluoro-3-pyridyl)methyl-2-imino-4-methyl-2,3-dihydrothiazole;

3-(6-bromo-3-pyridyl)methyl-2-imino-4-methyl-2,3-dihydrothiazole;

15 3-(6-chloro-3-pyridyl)methyl-2-imino-4,5-dimethyl-2,3-dihydrothiazole;

3-(6-chloro-3-pyridyl)methyl-4-ethyl-2-imino-2,3-dihydrothiazole;

5-chloro-1-(6-chloro-3-pyridyl)methyl-2-imino-1,2-dihydropyridine;

20 1-(6-chloro-3-pyridyl)methyl-2-imino-3-methyl-1,2-dihydropyridine;

1-(6-chloro-3-pyridyl)methyl-2-imino-5-methyl-1,2-dihydropyridine;

1-(6-chloro-3-pyridyl)methyl-2-imino-4-methyl-1,2-

25 dihydropyridine;

2-imino-1-(3-pyridyl)methyl-1,2-dihydropyridine;

3-(6-chloro-3-pyridyl)methyl-2-imino-4-methylthiazolidine;

3-(6-chloro-3-pyridyl)methyl-2-iminoxazolidine;

1-(6-chloro-3-pyridyl)methyl-2-imino-1,2,3,4,5,6-hexahydropyrimidine;

30 3-(5-bromo-3-pyridyl)methyl-2-imino-4-methyl-2,3-dihydrothiazole;

- 3-(4-chlorobenzyl)-2-iminothiazolidine;  
 2-imino-3-(6-methyl-3-pyridyl)methylthiazolidine;  
 2-imino-3-(4-pyridazinyl)methylthiazolidine;  
 3-(2-chloro-5-thiazolyl)methyl-2-iminothiazolidine;  
 5 2-imino-3-(3-methyl-5-isoxazolyl)methylthiazolidine;  
 2-imino-4-methyl-3-(3-methyl-5-isoxazolyl)methyl-2,3-  
 dihydrothiazole;  
 3-(2-chloro-5-thiazolyl)methyl-2-imino-4-methyl-2,3-  
 dihydrothiazole;  
 10 3-(5,6-dichloro-3-pyridyl)methyl-2-imino-4-methyl-2,3-  
 dihydrothiazole;  
 2-imino-4-methyl-3-(6-methyl-3-pyridyl)methyl-2,3-  
 dihydrothiazole;  
 3-(6-chloro-3-pyridyl)methyl-2-imino-5-phenyl-2,3-  
 15 dihydrothiazole;  
 3-(6-chloro-3-pyridyl)methyl-2-imino-4-phenyl-2,3-  
 dihydrothiazole;  
 4-(4-chlorophenyl)-3-(6-chloro-3-pyridyl)methyl-2-imino-2,3-  
 dihydrothiazole;  
 20 3-(6-chloro-3-pyridyl)methyl-2-imino-4-phenylthiazolidine;  
 2-(6-chloro-3-pyridyl)methyl-3-imino-6-phenyl-2,3-  
 dihydropyridazine;  
 3-imino-6-phenyl-2-(3-pyridyl)methyl-2,3-dihydropyridazine;  
 1-(6-chloro-3-pyridyl)methyl-2-imino-5-phenyl-1,2-  
 25 dihydropyrimidine;  
 1-(6-chloro-3-pyridyl)methyl-2-imino-5-nitro-1,2-dihydropyridine;  
 2-imino-1-(6-methyl-3-pyridyl)methyl-1,2-dihydropyridine;  
 2-imino-3-(3-pyridazinyl)methylthiazolidine;  
 2-amino-1-(2-chloro-5-thiazolyl)methylimidazole;  
 30 2-amino-1-(6-chloro-3-pyridyl)methyl-4,5-dimethylimidazole;  
 2-amino-1-(5-pyrimidyl)methylimidazole;  
 2-amino-1-(6-chloro-3-pyridyl)methyl-4-methylimidazole;

2-amino-1-(5,6-dichloro-3-pyridyl)methylimidazole;  
 2-amino-1-(3-pyridyl)methylimidazole;  
 2-amino-1-(6-methyl-3-pyridyl)methylimidazole;  
 3-(4-chlorobenzyl)-2-imino-2,3-dihydrothiazole;  
 5 2-amino-1-(4-chlorobenzyl)imidazole;  
 2-amino-1-(7-aza-3-indolyl)methylimidazole;  
 3-(3,4-dichlorobenzyl)-2-imino-2,3-dihydrothiazole;  
 2-imino-3-(3-nitrobenzyl)-2,3-dihydrothiazole;  
 2-imino-3-(4-nitrobenzyl)-2,3-dihydrothiazole;  
 10 2-imino-3-(4-methylbenzyl)-2,3-dihydrothiazole;  
 2-imino-3-(3-trifluoromethylbenzyl)-2,3-dihydrothiazole;  
 3-(4-cyanobenzyl)-2-imino-2,3-dihydrothiazole;  
 3-(7-aza-3-indolyl)-2-imino-2,3-dihydrothiazole;

15 10. Activators for  $\alpha 4\beta 2$  nicotinic acetylcholine receptors containing compound claimed in claim 9 or pharmaceutically acceptable salts thereof as active ingredient.

20 11. The activators for  $\alpha 4\beta 2$  nicotinic acetylcholine receptors according to claim 10, wherein said activators are agonists or modulators at  $\alpha 4\beta 2$  nicotinic acetylcholine receptors.

25 12. A therapeutic agent for preventing or treating cerebral circulation diseases comprising the activator for  $\alpha 4\beta 2$  nicotinic acetylcholine receptors claimed in claim 10 or 11.

30 13. A therapeutic agent for preventing or treating neurodegenerative disease, dementia, motor ataxia, and neuropathy and mental disease comprising the activator for  $\alpha 4\beta 2$  nicotinic acetylcholine receptors claimed in claim 10 or 11.

14. The therapeutic agent according to claim 13, wherein said

neurodegenerative disease is Alzheimer's disease or Parkinson's disease, said dementia is cerebrovascular dementia, said motor ataxia is Tourette's syndrome, and said neuropathy and mental disease is neurosis during chronic cerebral infarction stage,  
5 anxiety or schizophrenia.

15. A medicament for improving the cerebral metabolism, neurotransmission functional disorder and memory disorder, for protecting brain, or having analgesic effect, which comprises the  
10 activator for  $\alpha 4\beta 2$  nicotinic acetylcholine receptors claimed in claim 10 or 11.

16. A medicament for preventing or treating inflammatory intestinal diseases comprising the activator for  $\alpha 4\beta 2$  nicotinic  
15 acetylcholine receptors claimed in claim 10 or 11.

*Amend*  
*A2*  
*2/8* The use of the compounds claimed in claim 9 or  
~~pharmaceutically acceptable salts thereof as the activators for~~  
 ~~$\alpha 4\beta 2$  nicotinic acetylcholine receptors.~~